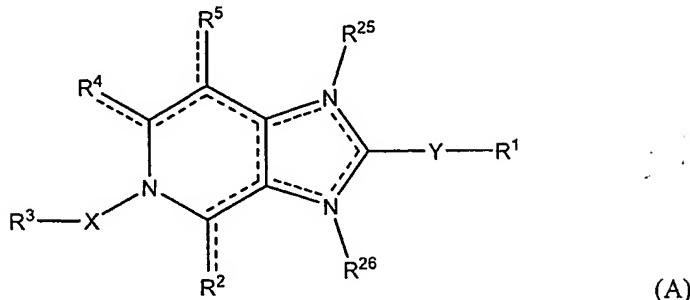


5

We claim:

1. A compound having the general formula (A),



10 wherein:

the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, optionally 4 double bonds;

15  $R^1$  is selected from hydrogen, aryl, heterocyclic,  $C_{1-10}$  alkoxy,  $C_{1-10}$  thioalkyl,  $C_{1-10}$  alkyl-amino,  $C_{1-10}$  dialkyl-amino,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, and  $C_{4-10}$  cycloalkynyl, wherein each are optionally substituted with 1 or more  $R^6$ ;

$Y$  is selected from single bond,  $O$ ,  $S(O)_m$ ,  $NR^{11}$ , or  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene, wherein each may optionally include 1 to 3

20 heteroatoms selected from  $O$ ,  $S$  or  $N$ ;

$R^2$  and  $R^4$  are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{1-18}$  alkylthio, halogen,  $-OH$ ,  $-CN$ ,  $-NO_2$ ,  $-NR^7R^8$ , haloalkyloxy, haloalkyl,  $-C(=O)R^9$ ,  $-C(=S)R^9$ ,  $SH$ , aryl, aryloxy, arylthio, arylalkyl,  $C_{1-18}$  hydroxyalkyl,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkyloxy,  $C_{3-10}$  cycloalkylthio,  $C_{3-10}$  cycloalkenyl,  $C_{7-10}$  cycloalkynyl, or heterocyclic, provided that when one of  $R^{25}$  or  $R^{26}$  is present, then either  $R^2$  or  $R^4$  is selected from  $(=O)$ ,  $(=S)$ , and  $=NR^{27}$ ;

$X$  is selected from  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene or  $C_{2-10}$  alkynylene, where each may include one or more heteroatoms selected from  $O$ ,  $S$ , or  $N$ , provided any such heteroatom is not adjacent to the  $N$  in the ring;

30  $m$  is any integer from 0 to 2;

5           R<sup>3</sup> is selected from aryl, aryloxy, arylthio, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl-N(R<sup>10</sup>)-, or heterocyclic, where each said substituent may be optionally substituted with at least one R<sup>17</sup>, provided that for cycloalkenyl the double bond is not adjacent to a nitrogen, and provided R<sup>3</sup> M-Q- is not biphenyl;

10          R<sup>5</sup> is selected from hydrogen; C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>1-18</sub> alkoxy, C<sub>1-18</sub> alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=O)OR<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl, C<sub>1-18</sub> hydroxyalkyl, C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkyloxy, C<sub>3-10</sub> cycloalkylthio, C<sub>3-10</sub> cycloalkenyl, C<sub>7-10</sub> cycloalkynyl, or heterocyclic;

15          R<sup>6</sup> is selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>1-18</sub> alkoxy, C<sub>1-18</sub> alkylthio, C<sub>1-18</sub> alkylsulfoxide, C<sub>1-18</sub> alkylsulfone, C<sub>1-18</sub> halo-alkyl, C<sub>2-18</sub> halo-alkenyl, C<sub>2-18</sub> halo-alkynyl, C<sub>1-18</sub> halo-alkoxy, C<sub>1-18</sub> halo-alkylthio, C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, C<sub>7-10</sub> cycloalkynyl, halogen, OH, CN, cyanoalkyl, -CO<sub>2</sub>R<sup>18</sup>, NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, C<sub>1-18</sub> haloalkyl, C(=O)R<sup>18</sup>, C(=S)R<sup>18</sup>, SH, aryl, aryloxy, arylthio, arylsulfoxide, arylsulfone, arylsulfonamide, aryl(C<sub>1-18</sub>)alkyl, 20        aryl(C<sub>1-18</sub>)alkyloxy, aryl(C<sub>1-18</sub>)alkylthio, heterocyclic, C<sub>1-18</sub> hydroxyalkyl, where each may be optionally substituted with at least 1 R<sup>19</sup>;

25          R<sup>7</sup> and R<sup>8</sup> are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>1-18</sub> alkenyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, heterocyclic, -C(=O)R<sup>12</sup>; -C(=S)R<sup>12</sup>, an amino acid residue linked through a carboxyl group thereof, or where R<sup>7</sup> and R<sup>8</sup> together with the nitrogen form a heterocyclic;

30          R<sup>9</sup> and R<sup>18</sup> are independently selected from hydrogen, OH, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, C<sub>1-18</sub> alkoxy, -NR<sup>15</sup>R<sup>16</sup>, aryl, an amino acid residue linked through an amino group of the amino acid, CH<sub>2</sub>OCH(=O)R<sup>9a</sup>, or CH<sub>2</sub>OC(=O)OR<sup>9a</sup> where R<sup>9a</sup> is C<sub>1-C<sub>12</sub></sub> alkyl, C<sub>6-C<sub>20</sub></sub> aryl, C<sub>6-C<sub>20</sub></sub> alkylaryl or C<sub>6-C<sub>20</sub></sub> aralkyl;

35          R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, aryl, -C(=O)R<sup>12</sup>, heterocyclic, or an amino acid residue;

              R<sup>12</sup> is selected from the group consisting of hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, or an amino acid residue;

5  $R^{15}$  and  $R^{16}$  are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, or an amino acid residue;

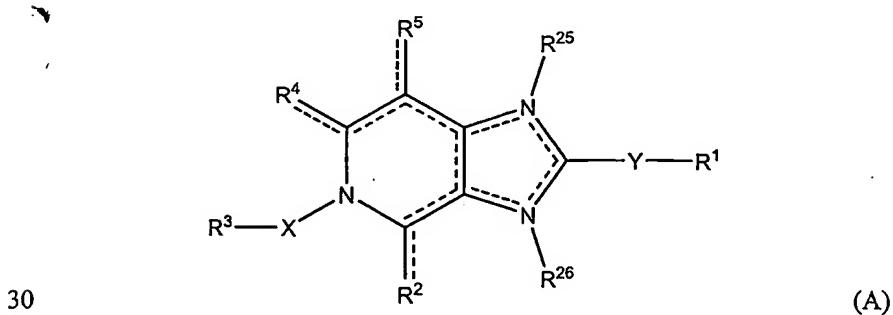
R<sup>17</sup> is independently M-Q- wherein M is a ring optionally substituted with 1 or more R<sup>19</sup>, and Q is a bond or a linking group connecting M to R<sup>3</sup> having 1 to 10 atoms and optionally substituted with 1 or more R<sup>19</sup>;

R<sup>19</sup> is selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>1-18</sub> alkoxy, C<sub>2-18</sub> alkenyloxy, C<sub>2-18</sub> alkynyoxy, C<sub>1-18</sub> alkylthio, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, C<sub>4-10</sub> cycloalkynyl, halogen, -OH, -CN, cyanoalkyl, -NO<sub>2</sub>, -NR<sup>20</sup>R<sup>21</sup>, C<sub>1-18</sub> haloalkyl, C<sub>1-18</sub> haloalkyloxy, -C(=O)R<sup>18</sup>, -C(=O)OR<sup>18</sup>, -OalkenylC(=O)OR<sup>18</sup>, -OalkylC(=O)NR<sup>20</sup>R<sup>21</sup>, -OalkylOC(=O)R<sup>18</sup>, -C(=S)R<sup>18</sup>, SH, -C(=O)N(C<sub>1-6</sub> alkyl), -N(H)S(O)(O)(C<sub>1-6</sub> alkyl), aryl, heterocyclic, C<sub>1-18</sub>alkylsulfone, arylsulfoxide, arylsulfonamide, aryl(C<sub>1-18</sub>)alkyloxy, aryloxy, aryl(C<sub>1-18</sub> alkyl)oxy, arylthio, aryl(C<sub>1-18</sub>)alkylthio or aryl(C<sub>1-18</sub>)alkyl, where each may be optionally substituted with 1 or more =O, NR<sup>20</sup>R<sup>21</sup>, CN, C<sub>1-18</sub> alkoxy, heterocyclic, C<sub>1-18</sub> haloalkyl, heterocyclic alkyl, heterocyclic connected to R<sup>17</sup> by alkyl, alkoxyalkoxy or halogen;

$R^{20}$  and  $R^{21}$  are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, -C(=O)R<sup>12</sup>, or -C(=S)R<sup>12</sup>;

25 R<sup>27</sup> is selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>3-10</sub> cycloalkyl, (C<sub>3-10</sub> cycloalkyl)-C<sub>1-6</sub> alkyl, aryl, and aryl C<sub>1-18</sub> alkyl, and salts, tautomers, isomers and solvates thereof.

2. A compound having the general formula (A),



wherein:

5 the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, optionally 4 double bonds;

10 R<sup>1</sup> is selected from hydrogen, aryl, heterocyclic, C<sub>1</sub>-C<sub>10</sub> alkoxy, C<sub>1</sub>-C<sub>10</sub> thioalkyl, C<sub>1</sub>-C<sub>10</sub> alkyl-amino, C<sub>1</sub>-C<sub>10</sub> dialkyl-amino, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>4</sub>-C<sub>10</sub> cycloalkenyl, and C<sub>4</sub>-C<sub>10</sub> cycloalkynyl, wherein each are optionally substituted with 1 or more R<sup>6</sup>;

15 Y is selected from single bond, O, S(O)<sub>m</sub>, NR<sup>11</sup>, or C<sub>1</sub>-C<sub>10</sub> alkylene, C<sub>2</sub>-C<sub>10</sub> alkenylene, C<sub>2</sub>-C<sub>10</sub> alkynylene, wherein each may optionally include 1 to 3 heteroatoms selected from O, S or N;

20 R<sup>2</sup> and R<sup>4</sup> are independently selected from hydrogen, C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>2</sub>-C<sub>18</sub> alkynyl, C<sub>1</sub>-C<sub>18</sub> alkoxy, C<sub>1</sub>-C<sub>18</sub> alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl, C<sub>1</sub>-C<sub>18</sub> hydroxyalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyloxy, C<sub>3</sub>-C<sub>10</sub> cycloalkylthio, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>7</sub>-C<sub>10</sub> cycloalkynyl, or heterocyclic, provided that when one of R<sup>25</sup> or R<sup>26</sup> is present, then either R<sup>2</sup> or R<sup>4</sup> is selected from (=O), (=S), and =NR<sup>27</sup>;

25 X is selected from C<sub>1</sub>-C<sub>10</sub> alkylene, C<sub>2</sub>-C<sub>10</sub> alkenylene or C<sub>2</sub>-C<sub>10</sub> alkynylene, where each may include one or more heteroatoms selected from O, S, or N, provided any such heteroatom is not adjacent to the N in the ring;

30 m is any integer from 0 to 2;  
R<sup>3</sup> is a heterocycle optionally substituted with at least one R<sup>17</sup> provided, however, that R<sup>3</sup> optionally substituted with at least one R<sup>17</sup> is not pyridinyl or 5-chlorothienyl, provided that R<sup>3</sup>-MQ is not biphenyl;

R<sup>5</sup> is selected from hydrogen; C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>2</sub>-C<sub>18</sub> alkynyl, C<sub>1</sub>-C<sub>18</sub> alkoxy, C<sub>1</sub>-C<sub>18</sub> alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=O)OR<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl, C<sub>1</sub>-C<sub>18</sub> hydroxyalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyloxy, C<sub>3</sub>-C<sub>10</sub> cycloalkylthio, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>7</sub>-C<sub>10</sub> cycloalkynyl, or heterocyclic;

35 R<sup>6</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>2</sub>-C<sub>18</sub> alkynyl, heterocyclic, C<sub>1</sub>-C<sub>18</sub> alkoxy, C<sub>1</sub>-C<sub>18</sub> alkylthio, C<sub>1</sub>-C<sub>18</sub> alkylsulfoxide, C<sub>1</sub>-C<sub>18</sub> alkylsulfone, C<sub>1</sub>-C<sub>18</sub> halo-alkyl, C<sub>2</sub>-C<sub>18</sub> halo-alkenyl, C<sub>2</sub>-C<sub>18</sub> halo-alkynyl, C<sub>1</sub>-C<sub>18</sub> halo-alkoxy, C<sub>1</sub>-C<sub>18</sub> halo-alkylthio, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>7</sub>-C<sub>10</sub> cycloalkynyl, halogen, OH, CN,

5 cyanoalkyl,  $-\text{CO}_2\text{R}^{18}$ ,  $\text{NO}_2$ ,  $-\text{NR}^7\text{R}^8$ ,  $\text{C}_{1-18}$  haloalkyl,  $\text{C}(=\text{O})\text{R}^{18}$ ,  $\text{C}(=\text{S})\text{R}^{18}$ ,  $\text{SH}$ , aryl, aryloxy, arylthio, arylsulfoxide, arylsulfone, arylsulfonamide, aryl( $\text{C}_{1-18}$ )alkyl, aryl( $\text{C}_{1-18}$ )alkyloxy, aryl( $\text{C}_{1-18}$ )alkylthio,  $\text{C}_{1-18}$  hydroxyalkyl, where each may be optionally substituted with at least 1  $\text{R}^{19}$ ;

10  $\text{R}^7$  and  $\text{R}^8$  are independently selected from hydrogen,  $\text{C}_{1-18}$  alkyl,  $\text{C}_{1-18}$  alkenyl, aryl,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{4-10}$  cycloalkenyl, heterocyclic,  $-\text{C}(=\text{O})\text{R}^{12}$ ;  $-\text{C}(=\text{S})\text{R}^{12}$ , an amino acid residue linked through a carboxyl group thereof, or where  $\text{R}^7$  and  $\text{R}^8$  together with the nitrogen form a heterocyclic;

15  $\text{R}^9$  and  $\text{R}^{18}$  are independently selected from hydrogen,  $\text{OH}$ ,  $\text{C}_{1-18}$  alkyl,  $\text{C}_{2-18}$  alkenyl,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{4-10}$  cycloalkenyl,  $\text{C}_{1-18}$  alkoxy,  $-\text{NR}^{15}\text{R}^{16}$ , aryl, an amino acid residue linked through an amino group of the amino acid,  $\text{CH}_2\text{OCH}(=\text{O})\text{R}^{9a}$ , or  $\text{CH}_2\text{OC}(=\text{O})\text{OR}^{9a}$  where  $\text{R}^{9a}$  is  $\text{C}_{1-12}$  alkyl,  $\text{C}_{6-20}$  aryl,  $\text{C}_{6-20}$  alkylaryl or  $\text{C}_{6-20}$  aralkyl;

20  $\text{R}^{10}$  and  $\text{R}^{11}$  are independently selected from the group consisting of hydrogen,  $\text{C}_{1-18}$  alkyl,  $\text{C}_{2-18}$  alkenyl,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{4-10}$  cycloalkenyl, aryl,  $-\text{C}(=\text{O})\text{R}^{12}$ , heterocyclic, or an amino acid residue;

25  $\text{R}^{12}$  is selected from the group consisting of hydrogen,  $\text{C}_{1-18}$  alkyl,  $\text{C}_{2-18}$  alkenyl, aryl,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{4-10}$  cycloalkenyl, or an amino acid residue;

30  $\text{R}^{15}$  and  $\text{R}^{16}$  are independently selected from hydrogen,  $\text{C}_{1-18}$  alkyl,  $\text{C}_{2-18}$  alkenyl,  $\text{C}_{2-18}$  alkynyl, aryl,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{4-10}$  cycloalkenyl, or an amino acid residue;

35  $\text{R}^{17}$  is independently selected from the group consisting of hydrogen,  $\text{C}_{1-18}$  alkyl,  $\text{C}_{2-18}$  alkenyl,  $\text{C}_{2-18}$  alkynyl,  $\text{C}_{1-18}$  alkoxy,  $\text{C}_{1-18}$  alkylthio,  $\text{C}_{1-18}$  alkylsulfoxide,  $\text{C}_{1-18}$  alkylsulfone,  $\text{C}_{1-18}$  halogenated alkyl,  $\text{C}_{2-18}$  halogenated alkenyl,  $\text{C}_{2-18}$  halogenated alkynyl,  $\text{C}_{1-18}$  halogenated alkoxy,  $\text{C}_{1-18}$  halogenated alkylthio,  $\text{C}_{3-10}$  cycloalkyl,  $\text{C}_{3-10}$  cycloalkenyl,  $\text{C}_{7-10}$  cycloalkynyl, halogen,  $\text{OH}$ ,  $\text{CN}$ ,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{R}^{18}$ ,  $\text{NO}_2$ ,  $\text{NR}^7\text{R}^8$ , haloalkyl,  $\text{C}(=\text{O})\text{R}^{18}$ ,  $\text{C}(=\text{S})\text{R}^{18}$ ,  $\text{SH}$ , aryl, aryloxy, arylthio, arylsulfoxide, arylsulfone, arylsulfonamide, arylalkyl, arylalkyloxy, arylalkylthio, heterocyclic,  $\text{C}_{1-18}$  hydroxyalkyl, where each of said aryl, aryloxy, arylthio, arylsulfoxide, arylsulfone, arylsulfonamide, arylalkyl, arylalkyloxy, arylalkylthio, heterocycle, or  $\text{C}_{1-18}$  hydroxyalkyl is optionally substituted with 1 or more  $\text{R}^{19}$ ;

5         $R^{19}$  is selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{2-18}$  alkenyloxy,  $C_{2-18}$  alkynyoxy,  $C_{1-18}$  alkylthio,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl,  $C_{4-10}$  cycloalkynyl, halogen, -OH, -CN, cyanoalkyl, -NO<sub>2</sub>, -NR<sup>20</sup>R<sup>21</sup>,  $C_{1-18}$  haloalkyl,  $C_{1-18}$  haloalkyloxy, -C(=O)R<sup>18</sup>, -C(=O)OR<sup>18</sup>, -OalkenylC(=O)OR<sup>18</sup>, -OalkylC(=O)NR<sup>20</sup>R<sup>21</sup>, -OalkylOC(=O)R<sup>18</sup>, -C(=S)R<sup>18</sup>, SH, -C(=O)N( $C_{1-6}$  alkyl), 10 -N(H)S(O)(O)( $C_{1-6}$  alkyl), aryl, heterocyclic,  $C_{1-18}$ alkylsulfone, arylsulfoxide, arylsulfonamide, aryl( $C_{1-18}$ )alkyloxy, aryloxy, aryl( $C_{1-18}$  alkyl)oxy, arylthio, aryl( $C_{1-18}$ )alkylthio or aryl( $C_{1-18}$ )alkyl, where each may be optionally substituted with 1 or more =O, NR<sup>20</sup>R<sup>21</sup>, CN,  $C_{1-18}$  alkoxy, heterocyclic,  $C_{1-18}$  haloalkyl, heterocyclic alkyl, heterocyclic connected to  $R^{17}$  by alkyl, alkoxyalkoxy or halogen;

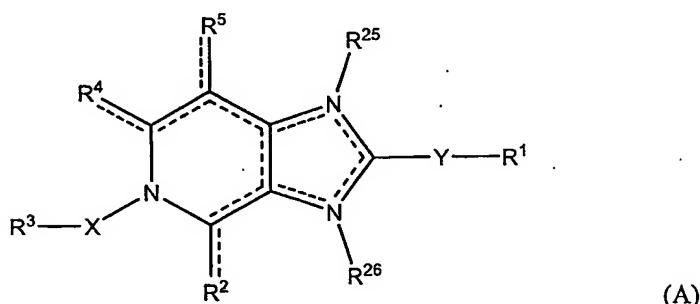
15         $R^{20}$  and  $R^{21}$  are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl, aryl,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, -C(=O)R<sup>12</sup>, carboxylester-substituted heterocyclic or -C(=S)R<sup>12</sup>;

20         $R^{25}$  and  $R^{26}$  are not present, or are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{3-10}$  cycloalkyl, aryl, heterocyclic, where each is optionally independently substituted with 1 to 4 of  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, halo, CH<sub>2</sub>OH, benzyloxy, and OH; and

25         $R^{27}$  is selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{3-10}$  cycloalkyl, ( $C_{3-10}$  cycloalkyl)- $C_{1-6}$  alkyl, aryl, and aryl  $C_{1-18}$  alkyl, and the salts, tautomers, isomers and solvates thereof.

25

3.        A compound having the general formula (A),



wherein:

5 the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, optionally 4 double bonds;

10  $R^1$  is selected from hydrogen, aryl, heterocyclic,  $C_{1-10}$  alkoxy,  $C_{1-10}$  thioalkyl,  $C_{1-10}$  alkyl-amino,  $C_{1-10}$  dialkyl-amino,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, and  $C_{4-10}$  cycloalkynyl, wherein each are optionally substituted with 1 or more  $R^6$ ;

15  $Y$  is selected from single bond, O,  $S(O)_m$ ,  $NR^{11}$ , or  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene, wherein each may optionally include 1 to 3 heteroatoms selected from O, S or N;

20  $R^2$  and  $R^4$  are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{1-18}$  alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl,  $C_{1-18}$  hydroxyalkyl,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkyloxy,  $C_{3-10}$  cycloalkylthio,  $C_{3-10}$  cycloalkenyl,  $C_{7-10}$  cycloalkynyl, or heterocyclic, provided that when one of  $R^{25}$  or  $R^{26}$  is present, then either  $R^2$  or  $R^4$  is selected from (=O), (=S), and =NR<sup>27</sup>;

25  $X$  is selected from  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene or  $C_{2-10}$  alkynylene, where each may include one or more heteroatoms selected from O, S, or N, provided any such heteroatom is not adjacent to the N in the ring;

$m$  is any integer from 0 to 2;

30  $R^3$  is a heterocycle optionally substituted with at least one  $R^{17}$ , provided  $R^3$ -M- $Q$  is not biphenyl;

$R^5$  is selected from hydrogen;  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{1-18}$  alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=O)OR<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl,  $C_{1-18}$  hydroxyalkyl,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkyloxy,  $C_{3-10}$  cycloalkylthio,  $C_{3-10}$  cycloalkenyl,  $C_{7-10}$  cycloalkynyl, or heterocyclic;

35  $R^6$  is selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{1-18}$  alkylthio,  $C_{1-18}$  alkylsulfoxide,  $C_{1-18}$  alkylsulfone,  $C_{1-18}$  halo-alkyl,  $C_{2-18}$  halo-alkenyl,  $C_{2-18}$  halo-alkynyl,  $C_{1-18}$  halo-alkoxy,  $C_{1-18}$  halo-alkylthio,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkenyl,  $C_{7-10}$  cycloalkynyl, halogen, OH, CN, cyanoalkyl, -CO<sub>2</sub>R<sup>18</sup>, NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>,  $C_{1-18}$  haloalkyl, C(=O)R<sup>18</sup>, C(=S)R<sup>18</sup>, SH, aryl, aryloxy,

5      arylthio, arylsulfoxide, arylsulfone, arylsulfonamide, aryl(C<sub>1-18</sub>)alkyl,  
 aryl(C<sub>1-18</sub>)alkyloxy, aryl(C<sub>1-18</sub>)alkylthio, heterocyclic, C<sub>1-18</sub> hydroxyalkyl, where each  
 may be optionally substituted with at least 1 R<sup>19</sup>;

10     R<sup>7</sup> and R<sup>8</sup> are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>1-18</sub> alkenyl,  
 aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, heterocyclic, -C(=O)R<sup>12</sup>; -C(=S)R<sup>12</sup>, an  
 15    amino acid residue linked through a carboxyl group thereof, or where R<sup>7</sup> and R<sup>8</sup>  
 together with the nitrogen form a heterocyclic;

15     R<sup>9</sup> and R<sup>18</sup> are independently selected from hydrogen, OH, C<sub>1-18</sub> alkyl, C<sub>2-18</sub>  
 alkenyl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, C<sub>1-18</sub> alkoxy, -NR<sup>15</sup>R<sup>16</sup>, aryl, an amino  
 acid residue linked through an amino group of the amino acid, CH<sub>2</sub>OCH(=O)R<sup>9a</sup>, or  
 20    CH<sub>2</sub>OC(=O)OR<sup>9a</sup> where R<sup>9a</sup> is C<sub>1-C<sub>12</sub></sub> alkyl, C<sub>6-C<sub>20</sub></sub> aryl, C<sub>6-C<sub>20</sub></sub> alkylaryl or C<sub>6-C<sub>20</sub></sub>  
 aralkyl;

20     R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of hydrogen,  
 C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, aryl, -C(=O)R<sup>12</sup>,  
 heterocyclic, or an amino acid residue;

25     R<sup>12</sup> is selected from the group consisting of hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub>  
 alkenyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, or an amino acid residue;

25     R<sup>15</sup> and R<sup>16</sup> are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub>  
 alkenyl, C<sub>2-18</sub> alkynyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, or an amino acid  
 residue;

30     R<sup>17</sup> is M-Q-, wherein M is a C<sub>3-10</sub> cycloalkyl optionally substituted with 1 or  
 more R<sup>19</sup>, and Q is a bond, or C<sub>1-10</sub> alkyl optionally substituted with 1 or more R<sup>19</sup>;  
 R<sup>19</sup> is selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>1-18</sub>  
 alkoxy, C<sub>2-18</sub> alkenyloxy, C<sub>2-18</sub> alkynyoxy, C<sub>1-18</sub> alkylthio, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub>  
 cycloalkenyl, C<sub>4-10</sub> cycloalkynyl, halogen, -OH, -CN, cyanoalkyl, -NO<sub>2</sub>, -NR<sup>20</sup>R<sup>21</sup>,  
 35    C<sub>1-18</sub> haloalkyl, C<sub>1-18</sub> haloalkyloxy, -C(=O)R<sup>18</sup>, -C(=O)OR<sup>18</sup>, -OalkenylC(=O)OR<sup>18</sup>,  
 -OalkylC(=O)NR<sup>20</sup>R<sup>21</sup>, -OalkylOC(=O)R<sup>18</sup>, -C(=S)R<sup>18</sup>, SH, -C(=O)N(C<sub>1-6</sub> alkyl),  
 -N(H)S(O)(O)(C<sub>1-6</sub> alkyl), aryl, heterocyclic, C<sub>1-18</sub> alkylsulfone, arylsulfoxide,  
 arylsulfonamide, aryl(C<sub>1-18</sub>)alkyloxy, aryloxy, aryl(C<sub>1-18</sub> alkyl)oxy, arylthio, aryl(C<sub>1-18</sub>)alkylthio or aryl(C<sub>1-18</sub>)alkyl, where each may be optionally substituted with 1 or  
 40    more =O, NR<sup>20</sup>R<sup>21</sup>, CN, C<sub>1-18</sub> alkoxy, heterocyclic, C<sub>1-18</sub> haloalkyl, heterocyclic alkyl,  
 heterocyclic connected to R<sup>17</sup> by alkyl, alkoxyalkoxy or halogen;

5        R<sup>20</sup> and R<sup>21</sup> are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, -C(=O)R<sup>12</sup>, or -C(=S)R<sup>12</sup>;

10      R<sup>25</sup> and R<sup>26</sup> are not present, or are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>3-10</sub> cycloalkyl, aryl, heterocyclic, where each is optionally independently substituted with 1 to 4 of C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, halo, CH<sub>2</sub>OH, benzyloxy, and OH; and

15      R<sup>27</sup> is selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>3-10</sub> cycloalkyl, (C<sub>3-10</sub> cycloalkyl)-C<sub>1-6</sub> alkyl, aryl, and aryl C<sub>1-18</sub> alkyl, and  
the salts, tautomers, isomers and solvates thereof.

15

4.      The compound of claim 1, 2 or 3 wherein R<sup>3</sup> is heterocycle.

5.      The compound of claims 1, 2 or 3 wherein YR<sup>1</sup> is halophenyl.

20

6.      The compound of claim 5 wherein halophenyl is ortho-fluorophenyl.

7.      The compound of claims 1, 2 or 3 wherein R<sup>3</sup> is isoxazolyl substituted with 1 R<sup>17</sup>.

25

8.      The compound of claims 1, 2 or 3 wherein R<sup>17</sup> is aryl or an aromatic heterocycle which is substituted with 1, 2 or 3 R<sup>19</sup>.

9.      The compound of claims 1, 2 or 3 wherein YR<sup>1</sup> is none of hydrogen, an  
unsubstituted C<sub>3-10</sub> cycloalkyl, or C<sub>1-6</sub> alkyl.

30

10.     The compound of claim 9 wherein YR<sup>1</sup> is not hydrogen.

11.     The compound of claims 1, 2 or 3 wherein R<sup>19</sup> is trihalomethyl, trihalomethoxy, alkoxy or halogen.

35

5 12. The compound of claims 1, 2 or 3 wherein R<sup>1</sup> is aryl or aromatic heterocycle substituted with 1, 2 or 3 R<sup>6</sup> wherein R<sup>6</sup> is halogen, C<sub>1-18</sub> alkoxy, or C<sub>1-18</sub> haloalkyl.

13. The compound of claims 12 wherein R<sup>1</sup> is phenyl substituted with 1, 2 or 3 halogens.

10

14. The compound of claims 1, 2 or 3 wherein halogen is fluoro.

15. The compound of claims 1, 2 or 3 wherein Y is a single bond, O, C<sub>1-6</sub> alkylene, C<sub>2-6</sub> alkenylene, C<sub>2-6</sub> alkynylene or one of said groups containing 1 to 3 heteroatoms selected from O, S or NR<sup>11</sup>.

16. The compound of claim 15 wherein Y is -O(CH<sub>2</sub>)<sub>1-5</sub>-, -(CH<sub>2</sub>)<sub>1-4</sub>-O-(CH<sub>2</sub>)<sub>1-4</sub>-, -S-(CH<sub>2</sub>)<sub>1-5</sub>-, -(CH<sub>2</sub>)<sub>1-4</sub>-S-(CH<sub>2</sub>)<sub>1-4</sub>-, -NR<sup>11</sup>-(CH<sub>2</sub>)<sub>1-5</sub>-, -(CH<sub>2</sub>)<sub>1-4</sub>-NR<sup>11</sup>-(CH<sub>2</sub>)<sub>1-4</sub> or C<sub>3-10</sub> cycloalkylidene.

20

17. The compound of claim 15 wherein Y is -OCH<sub>2</sub>-, -CH<sub>2</sub>O-, C<sub>1-2</sub> alkylene, C<sub>2-3</sub> alkenylene, C<sub>2-3</sub> alkynylene, O or a bond.

18. The compound of claim 15 wherein Y is a bond.

25

19. The compound of claims 1, 2 or 3 wherein YR<sup>1</sup> is not any one of H, an unsubstituted C<sub>3-10</sub> cycloalkyl or C<sub>1-6</sub> alkyl.

20. The compound of claims 1, 2 or 3 wherein YR<sup>1</sup> is not H.

30

21. The compound of claims 1, 2 or 3 wherein YR<sup>1</sup> is halo or halomethyl-substituted phenyl.

22. The compound of claims 1, 2 or 3 wherein halo or halomethyl are ortho or

35 meta.

5 23. The compound of claims 1, 2 or 3 wherein X is selected from the group consisting of alkylene, alkynylene or alkenylene and said hydrocarbons having an intrachain N, O or S heteroatom.

10 24. The compound of claims 1, 2 or 3 wherein X is alkyl.

15 25. The compound of claim 23 wherein X is selected from the group consisting of -CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -CH<sub>2</sub>-CH<sub>2</sub>-, -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>2-4</sub>-O-(CH<sub>2</sub>)<sub>2-4</sub>-, -(CH<sub>2</sub>)<sub>2-4</sub>-S-(CH<sub>2</sub>)<sub>2-4</sub>-, -(CH<sub>2</sub>)<sub>2-4</sub>-NR<sup>10</sup>-(CH<sub>2</sub>)<sub>2-4</sub>-, C<sub>3-10</sub> cycloalkylidene, C<sub>2-6</sub> alkenylene and C<sub>2-6</sub> alkynylene.

20 26. The compound of claims 1, 2 or 3 wherein X is methylene.

25 27. The compound of claims 1, 2 or 3 wherein R<sup>3</sup> is aryl or a heterocycle substituted with 0 to 3 R<sup>17</sup>.

30 28. The compound of claim 27 wherein the heterocycle is an aromatic heterocycle.

25 29. The compound of claim 28 wherein the heterocycle contains 1, 2 or 3 N, S or O atoms in the ring, is linked to X through a ring carbon atom and contains 4 to 6 total ring atoms.

30 30. The compound of claims 1, 2 or 3 wherein R<sup>3</sup> is isoxazolyl substituted with 1 to 3 R<sup>17</sup>.

31. The compound of claims 1, 2 or 3 wherein R<sup>17</sup> is aryl or a heterocycle further substituted with 1 to 3 R<sup>19</sup>.

35 32. The compound of claims 1 or 3 wherein M is aryl or aromatic heterocycle.

5 33. The compound of claims 1 or 3 wherein Q contains 0 to 20 atoms selected  
from C, O, S, N and H.

10 34. The compound of claims 1 or 3 wherein M is a cyclic group selected from R<sup>17</sup>.

15 35. The compound of claim 2 wherein R<sup>17</sup> is selected from the group consisting of  
C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub> cycloalkenyl, C<sub>7-10</sub> cycloalkynyl, halogen, aryl, aryloxy,  
arylhthio, arylsulfoxide, arylsulfone, arylsulfonamide, arylalkyl; arylalkyloxy;  
arylalkylthio; heterocycle; C<sub>1-18</sub> hydroxyalkyl, each of said C<sub>3-10</sub> cycloalkyl, C<sub>3-10</sub>  
cycloalkenyl, C<sub>7-10</sub> cycloalkynyl, halogen, aryl, aryloxy, arylthio, arylsulfoxide,  
arylsulfone, arylsulfonamide, arylalkyl; arylalkyloxy; arylalkylthio; heterocycle; and  
C<sub>1-18</sub> hydroxyalkyl is unsubstituted or is substituted 1 or more R<sup>19</sup>.

20 36. The compound of claim 2 wherein R<sup>17</sup> is selected from the group consisting  
of aryl and heterocycle, and where said aryl or heterocycle is optionally substituted  
with 1 or more R<sup>19</sup>.

25 37. The compound of claims 1, 2 or 3 wherein R<sup>9</sup> and R<sup>18</sup> are H, OH or alkyl.

30 38. The compound of claims 1, 2 or 3 wherein R<sup>5</sup> is H.

39. The compound of claims 1, 2 or 3 wherein R<sup>6</sup> is halogen.

40. The compound of claims 1, 2 or 3 wherein R<sup>7</sup>, R<sup>8</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>15</sup>, R<sup>16</sup>, R<sup>20</sup>, and  
R<sup>21</sup> are independently H or C<sub>1-18</sub> alkyl.

41. The compound of claims 1, 2 or 3 wherein R<sup>12</sup> is OH or alkyl.

42. The compound of claims 1, 2 or 3 wherein R<sup>19</sup> is selected from the group  
consisting of H; C<sub>1-18</sub> alkyl; C<sub>2-18</sub> alkenyl; C<sub>2-18</sub> alkynyl; C<sub>1-18</sub> alkoxy; alkenyloxy;  
alkynyoxy; C<sub>1-18</sub> alkylthio; C<sub>3-10</sub> cycloalkyl; C<sub>4-10</sub> cycloalkenyl; C<sub>4-10</sub> cycloalkynyl;  
halogen; OH; CN; cyanoalkyl; NO<sub>2</sub>; NR<sup>20</sup>R<sup>21</sup>; haloalkyl; haloalkyloxy; C(=O)R<sup>18</sup>;

5    C(=O)OR<sup>18</sup>; OalkenylC(=O)OR<sup>18</sup>; -OalkylC(=O)NR<sup>20</sup>R<sup>21</sup>; aryl; heterocycle; -  
 -OalkylOC(=O)R<sup>18</sup>; C(=O)N(C<sub>1-6</sub> alkyl), N(H)S(O)(O)(C<sub>1-6</sub> alkyl); arylalkyloxy;  
 aryloxy; arylalkyloxy; and arylalkyl; each of which is unsubstituted or substituted  
 with 1 or more =O; NR<sup>20</sup>R<sup>21</sup>; CN; alkoxy; heterocycle; haloalkyl- or alkyl-  
 substituted heterocycle; and heterocycle linked to R<sup>17</sup> by alkyl; alkoxyalkoxy or  
 10    halogen.

43.    The compound of claim 42 wherein R<sup>19</sup> is independently selected from the  
 group consisting of halogen, N(R<sup>20</sup> R<sup>21</sup>), alkoxy, halo-substituted alkyl and halo-  
 substituted alkoxy.

15

44.    The compound of claims 1, 2 or 3 wherein R<sup>25</sup> and R<sup>26</sup> are not present.

45.    The compound of claims 1, 2 or 3 which is not substituted at R<sup>25</sup> but is  
 substituted at R<sup>26</sup>, and either R<sup>2</sup> or R<sup>4</sup> is selected from (=O), (=S), and (=NR<sup>27</sup>).

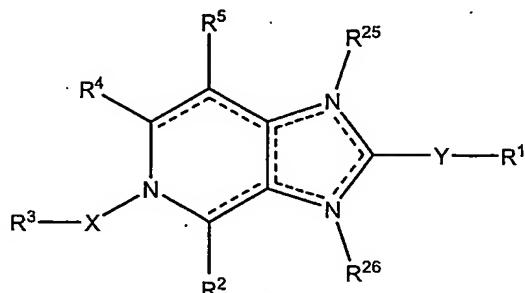
20

46.    The compound of claims 1, 2 or 3 wherein haloalkyl or haloalkyloxy is -CF<sub>3</sub>  
 or -OCF<sub>3</sub>.

25

47.    A composition comprising a pharmaceutically acceptable excipient and a  
 compound of claims 1, 2 or 3.

48.    A compound having the general formula (B),



30

(B)

wherein:

5 the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, optionally 4 double bonds;

10 R<sup>1</sup> is selected from hydrogen, aryl, heterocyclic, C<sub>1</sub>-C<sub>10</sub> alkoxy, C<sub>1</sub>-C<sub>10</sub> thioalkyl, C<sub>1</sub>-C<sub>10</sub> alkyl-amino, C<sub>1</sub>-C<sub>10</sub> dialkyl-amino, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>4</sub>-C<sub>10</sub> cycloalkenyl, and C<sub>4</sub>-C<sub>10</sub> cycloalkynyl, wherein each are optionally substituted with 1 or more R<sup>6</sup>;

15 Y is selected from single bond, O, S(O)<sub>m</sub>, NR<sup>11</sup>, or C<sub>1</sub>-C<sub>10</sub> alkylene, C<sub>2</sub>-C<sub>10</sub> alkenylene, C<sub>2</sub>-C<sub>10</sub> alkynylene, wherein each may optionally include 1 to 3 heteroatoms selected from O, S or N;

20 R<sup>2</sup> and R<sup>4</sup> are independently selected from hydrogen, C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>2</sub>-C<sub>18</sub> alkynyl, C<sub>1</sub>-C<sub>18</sub> alkoxy, C<sub>1</sub>-C<sub>18</sub> alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl, C<sub>1</sub>-C<sub>18</sub> hydroxyalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyloxy, C<sub>3</sub>-C<sub>10</sub> cycloalkylthio, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>7</sub>-C<sub>10</sub> cycloalkynyl, or heterocyclic, provided that when one of R<sup>25</sup> or R<sup>26</sup> is present, then either R<sup>2</sup> or R<sup>4</sup> is selected from (=O), (=S), and =NR<sup>27</sup>;

25 X is selected from C<sub>1</sub>-C<sub>10</sub> alkylene, C<sub>2</sub>-C<sub>10</sub> alkenylene or C<sub>2</sub>-C<sub>10</sub> alkynylene, where each may include one or more heteroatoms selected from O, S, or N, provided any such heteroatom is not adjacent to the N in the ring;

m is any integer from 0 to 2;

30 R<sup>3</sup> is selected from aryl, aryloxy, arylthio, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl-N(R<sup>10</sup>)-, or heterocyclic, where each said substituent may be optionally substituted with at least one R<sup>17</sup>, provided that for cycloalkenyl the double bond is not adjacent to a nitrogen, and provided R<sup>3</sup> M-Q- is not biphenyl;

35 R<sup>5</sup> is selected from hydrogen; C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>2</sub>-C<sub>18</sub> alkynyl, C<sub>1</sub>-C<sub>18</sub> alkoxy, C<sub>1</sub>-C<sub>18</sub> alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=O)OR<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl, C<sub>1</sub>-C<sub>18</sub> hydroxyalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyloxy, C<sub>3</sub>-C<sub>10</sub> cycloalkylthio, C<sub>3</sub>-C<sub>10</sub> cycloalkenyl, C<sub>7</sub>-C<sub>10</sub> cycloalkynyl, or heterocyclic;

40 R<sup>6</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>18</sub> alkyl, C<sub>2</sub>-C<sub>18</sub> alkenyl, C<sub>2</sub>-C<sub>18</sub> alkynyl, C<sub>1</sub>-C<sub>18</sub> alkoxy, C<sub>1</sub>-C<sub>18</sub> alkylthio, C<sub>1</sub>-C<sub>18</sub> alkylsulfoxide, C<sub>1</sub>-C<sub>18</sub> alkylsulfone, C<sub>1</sub>-C<sub>18</sub> halo-alkyl, C<sub>2</sub>-C<sub>18</sub> halo-alkenyl, C<sub>2</sub>-C<sub>18</sub> halo-alkynyl, C<sub>1</sub>-C<sub>18</sub> halo-alkoxy, C<sub>1</sub>-C<sub>18</sub> halo-alkylthio, C<sub>3</sub>-C<sub>10</sub>

5     cycloalkyl, C<sub>3-10</sub> cycloalkenyl, C<sub>7-10</sub> cycloalkynyl, halogen, OH, CN, cyanoalkyl, -CO<sub>2</sub>R<sup>18</sup>, NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, C<sub>1-18</sub> haloalkyl, C(=O)R<sup>18</sup>, C(=S)R<sup>18</sup>, SH, aryl, aryloxy, arylthio, arylsulfoxide, arylsulfone, arylsulfonamide, aryl(C<sub>1-18</sub>)alkyl, aryl(C<sub>1-18</sub>)alkyloxy, aryl(C<sub>1-18</sub>)alkylthio, heterocyclic, C<sub>1-18</sub> hydroxyalkyl, where each may be optionally substituted with at least 1 R<sup>19</sup>;

10    R<sup>7</sup> and R<sup>8</sup> are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>1-18</sub> alkenyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, heterocyclic, -C(=O)R<sup>12</sup>, -C(=S)R<sup>12</sup>, an amino acid residue linked through a carboxyl group thereof, or where R<sup>7</sup> and R<sup>8</sup> together with the nitrogen form a heterocyclic;

15    R<sup>9</sup> and R<sup>18</sup> are independently selected from hydrogen, OH, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, C<sub>1-18</sub> alkoxy, -NR<sup>15</sup>R<sup>16</sup>, aryl, an amino acid residue linked through an amino group of the amino acid, CH<sub>2</sub>OCH(=O)R<sup>9a</sup>, or CH<sub>2</sub>OC(=O)OR<sup>9a</sup> where R<sup>9a</sup> is C<sub>1-C12</sub> alkyl, C<sub>6-C20</sub> aryl, C<sub>6-C20</sub> alkylaryl or C<sub>6-C20</sub> aralkyl;

20    R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, aryl, -C(=O)R<sup>12</sup>, heterocyclic, or an amino acid residue;

25    R<sup>12</sup> is selected from the group consisting of hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, or an amino acid residue;

30    R<sup>15</sup> and R<sup>16</sup> are independently selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, aryl, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, or an amino acid residue;

35    R<sup>17</sup> is independently M-Q- wherein M is a ring optionally substituted with 1 or more R<sup>19</sup>, and Q is a bond or a linking group connecting M to R<sup>3</sup> having 1 to 10 atoms and optionally substituted with 1 or more R<sup>19</sup>;

40    R<sup>19</sup> is selected from hydrogen, C<sub>1-18</sub> alkyl, C<sub>2-18</sub> alkenyl, C<sub>2-18</sub> alkynyl, C<sub>1-18</sub> alkoxy, C<sub>2-18</sub> alkenyloxy, C<sub>2-18</sub> alkynyoxy, C<sub>1-18</sub> alkylthio, C<sub>3-10</sub> cycloalkyl, C<sub>4-10</sub> cycloalkenyl, C<sub>4-10</sub> cycloalkynyl, halogen, -OH, -CN, cyanoalkyl, -NO<sub>2</sub>, -NR<sup>20</sup>R<sup>21</sup>, C<sub>1-18</sub> haloalkyl, C<sub>1-18</sub> haloalkyloxy, -C(=O)R<sup>18</sup>, -C(=O)OR<sup>18</sup>, -OalkenylC(=O)OR<sup>18</sup>, -OalkylC(=O)NR<sup>20</sup>R<sup>21</sup>, -OalkylOC(=O)R<sup>18</sup>, -C(=S)R<sup>18</sup>, SH, -C(=O)N(C<sub>1-6</sub> alkyl), -N(H)S(O)(O)(C<sub>1-6</sub> alkyl), aryl, heterocyclic, C<sub>1-18</sub> alkylsulfone, arylsulfoxide, arylsulfonamide, aryl(C<sub>1-18</sub>)alkyloxy, aryloxy, aryl(C<sub>1-18</sub> alkyl)oxy, arylthio, aryl(C<sub>1-18</sub>)alkylthio, heterocyclic, C<sub>1-18</sub> hydroxyalkyl, where each may be optionally substituted with at least 1 R<sup>19</sup>;

5      $\text{C}_{1-18}$ alkylthio or aryl( $\text{C}_{1-18}$ )alkyl, where each may be optionally substituted with 1 or  
more  $=\text{O}$ ,  $\text{NR}^{20}\text{R}^{21}$ ,  $\text{CN}$ ,  $\text{C}_{1-18}$ alkoxy, heterocyclic,  $\text{C}_{1-18}$ haloalkyl, heterocyclic alkyl,  
heterocyclic connected to  $\text{R}^{17}$  by alkyl, alkoxyalkoxy or halogen;

10      $\text{R}^{20}$  and  $\text{R}^{21}$  are independently selected from hydrogen,  $\text{C}_{1-18}$ alkyl,  $\text{C}_{2-18}$   
alkenyl,  $\text{C}_{2-18}$ alkynyl, aryl,  $\text{C}_{3-10}$ cycloalkyl,  $\text{C}_{4-10}$ cycloalkenyl,  $-\text{C}(=\text{O})\text{R}^{12}$ , or  
 $-\text{C}(=\text{S})\text{R}^{12}$ ;

15      $\text{R}^{27}$  is selected from hydrogen,  $\text{C}_{1-18}$ alkyl,  $\text{C}_{3-10}$ cycloalkyl, ( $\text{C}_{3-10}$ cycloalkyl)-  
 $\text{C}_{1-6}$ alkyl, aryl, and aryl  $\text{C}_{1-18}$ alkyl, and  
salts, tautomers, isomers and solvates thereof.

20     49.     The compound of claim 48 wherein Y is a single bond, and  $\text{R}^1$  is aryl.

15

25     50.     The compound of claim 48 wherein X is  $\text{C}_1\text{-C}_{10}$ alkylene,  $\text{C}_{2-10}$ alkenylene or  
 $\text{C}_{2-10}$ alkynylene.

30     51.     The compound of claim 48 wherein  $\text{R}^3$  is heterocyclic.

20

35     52.     The compound of claim 48 wherein  $\text{R}^3$  is heterocyclic substituted with  $\text{R}^{17}$   
where Q is a bond and M is aryl.

40     53.     The compound of claim 48 wherein Y is a single bond, and  $\text{R}^1$  is phenyl.

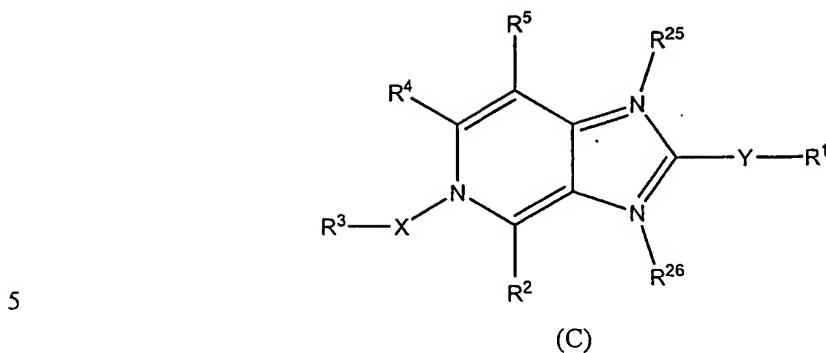
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45     54.     The compound of claim 48 wherein  $\text{R}^3$  is isoxazole substituted with  $\text{R}^{17}$  where  
Q is a bond and M is aryl.

30

50     55.     The compound of claim 48 wherein  $\text{R}^3$  is isoxazole substituted with  $\text{R}^{17}$  where  
Q is a bond and M is phenyl.

56.     A compound having the general formula (C),



wherein:

the dotted lines represent an optional double bond, provided that no two double bonds are adjacent to one another, and that the dotted lines represent at least 3, 10 optionally 4 double bonds;

10       $R^1$  is selected from hydrogen, aryl, heterocyclic,  $C_{1-10}$  alkoxy,  $C_{1-10}$  thioalkyl,  $C_{1-10}$  alkyl-amino,  $C_{1-10}$  dialkyl-amino,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, and  $C_{4-10}$  cycloalkynyl, wherein each are optionally substituted with 1 or more  $R^6$ ;

15       $Y$  is selected from single bond,  $O$ ,  $S(O)_m$ ,  $NR^{11}$ , or  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene,  $C_{2-10}$  alkynylene, wherein each may optionally include 1 to 3 heteroatoms selected from  $O$ ,  $S$  or  $N$ ;

20       $R^2$  and  $R^4$  are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{1-18}$  alkylthio, halogen,  $-OH$ ,  $-CN$ ,  $-NO_2$ ,  $-NR^7R^8$ , haloalkyloxy, haloalkyl,  $-C(=O)R^9$ ,  $-C(=S)R^9$ ,  $SH$ , aryl, aryloxy, arylthio, arylalkyl,  $C_{1-18}$  hydroxyalkyl,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkyloxy,  $C_{3-10}$  cycloalkylthio,  $C_{3-10}$  cycloalkenyl,  $C_{7-10}$  cycloalkynyl, or heterocyclic, provided that when one of  $R^{25}$  or  $R^{26}$  is present, then either  $R^2$  or  $R^4$  is selected from  $(=O)$ ,  $(=S)$ , and  $=NR^{27}$ ;

25       $X$  is selected from  $C_{1-10}$  alkylene,  $C_{2-10}$  alkenylene or  $C_{2-10}$  alkynylene, where each may include one or more heteroatoms selected from  $O$ ,  $S$ , or  $N$ , provided any such heteroatom is not adjacent to the  $N$  in the ring;

$m$  is any integer from 0 to 2;

30       $R^3$  is selected from aryl, aryloxy, arylthio, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl- $N(R^{10})_2$ , or heterocyclic, where each said substituent may be optionally substituted with at least one  $R^{17}$ , provided that for cycloalkenyl the double bond is not adjacent to a nitrogen, and provided  $R^3 M-Q-$  is not biphenyl;

5         $R^5$  is selected from hydrogen;  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{1-18}$  alkylthio, halogen, -OH, -CN, -NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>, haloalkyloxy, haloalkyl, -C(=O)R<sup>9</sup>, -C(=O)OR<sup>9</sup>, -C(=S)R<sup>9</sup>, SH, aryl, aryloxy, arylthio, arylalkyl,  $C_{1-18}$  hydroxyalkyl,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkyloxy,  $C_{3-10}$  cycloalkylthio,  $C_{3-10}$  cycloalkenyl,  $C_{7-10}$  cycloalkynyl, or heterocyclic;

10       $R^6$  is selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{1-18}$  alkylthio,  $C_{1-18}$  alkylsulfoxide,  $C_{1-18}$  alkylsulfone,  $C_{1-18}$  halo-alkyl,  $C_{2-18}$  halo-alkenyl,  $C_{2-18}$  halo-alkynyl,  $C_{1-18}$  halo-alkoxy,  $C_{1-18}$  halo-alkylthio,  $C_{3-10}$  cycloalkyl,  $C_{3-10}$  cycloalkenyl,  $C_{7-10}$  cycloalkynyl, halogen, OH, CN, cyanoalkyl, -CO<sub>2</sub>R<sup>18</sup>, NO<sub>2</sub>, -NR<sup>7</sup>R<sup>8</sup>,  $C_{1-18}$  haloalkyl, C(=O)R<sup>18</sup>, C(=S)R<sup>18</sup>, SH, aryl, aryloxy,

15      arylthio, arylsulfoxide, arylsulfone, arylsulfonamide, aryl( $C_{1-18}$ )alkyl, aryl( $C_{1-18}$ )alkyloxy, aryl( $C_{1-18}$ )alkylthio, heterocyclic,  $C_{1-18}$  hydroxyalkyl, where each may be optionally substituted with at least 1  $R^{19}$ ;

18       $R^7$  and  $R^8$  are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{1-18}$  alkenyl, aryl,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, heterocyclic, -C(=O)R<sup>12</sup>; -C(=S)R<sup>12</sup>, an amino acid residue linked through a carboxyl group thereof, or where  $R^7$  and  $R^8$  together with the nitrogen form a heterocyclic;

20       $R^9$  and  $R^{18}$  are independently selected from hydrogen, OH,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl,  $C_{1-18}$  alkoxy, -NR<sup>15</sup>R<sup>16</sup>, aryl, an amino acid residue linked through an amino group of the amino acid, CH<sub>2</sub>OCH(=O)R<sup>9a</sup>, or

25      CH<sub>2</sub>OC(=O)OR<sup>9a</sup> where R<sup>9a</sup> is C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>6</sub>-C<sub>20</sub> aryl, C<sub>6</sub>-C<sub>20</sub> alkylaryl or C<sub>6</sub>-C<sub>20</sub> aralkyl;

28       $R^{10}$  and  $R^{11}$  are independently selected from the group consisting of hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, aryl, -C(=O)R<sup>12</sup>, heterocyclic, or an amino acid residue;

30       $R^{12}$  is selected from the group consisting of hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl, aryl,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, or an amino acid residue;

32       $R^{15}$  and  $R^{16}$  are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl, aryl,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, or an amino acid residue;

5         $R^{17}$  is independently M-Q- wherein M is a ring optionally substituted with 1 or more  $R^{19}$ , and Q is a bond or a linking group connecting M to  $R^3$  having 1 to 10 atoms and optionally substituted with 1 or more  $R^{19}$ ;

10       $R^{19}$  is selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl,  $C_{1-18}$  alkoxy,  $C_{2-18}$  alkenyloxy,  $C_{2-18}$  alkynyoxy,  $C_{1-18}$  alkylthio,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl,  $C_{4-10}$  cycloalkynyl, halogen, -OH, -CN, cyanoalkyl, -NO<sub>2</sub>, -NR<sup>20</sup>R<sup>21</sup>, C<sub>1-18</sub> haloalkyl, C<sub>1-18</sub> haloalkyloxy, -C(=O)R<sup>18</sup>, -C(=O)OR<sup>18</sup>, -OalkenylC(=O)OR<sup>18</sup>, -OalkylC(=O)NR<sup>20</sup>R<sup>21</sup>, -OalkylOC(=O)R<sup>18</sup>, -C(=S)R<sup>18</sup>, SH, -C(=O)N(C<sub>1-6</sub> alkyl), -N(H)S(O)(O)(C<sub>1-6</sub> alkyl), aryl, heterocyclic,  $C_{1-18}$  alkylsulfone, arylsulfoxide, arylsulfonamide, aryl(C<sub>1-18</sub>)alkyloxy, aryloxy, aryl(C<sub>1-18</sub> alkyl)oxy, arylthio, aryl(C<sub>1-18</sub>)alkylthio or aryl(C<sub>1-18</sub>)alkyl, where each may be optionally substituted with 1 or more =O, NR<sup>20</sup>R<sup>21</sup>, CN, C<sub>1-18</sub> alkoxy, heterocyclic, C<sub>1-18</sub> haloalkyl, heterocyclic alkyl, heterocyclic connected to  $R^{17}$  by alkyl, alkoxyalkoxy or halogen;

15       $R^{20}$  and  $R^{21}$  are independently selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{2-18}$  alkenyl,  $C_{2-18}$  alkynyl, aryl,  $C_{3-10}$  cycloalkyl,  $C_{4-10}$  cycloalkenyl, -C(=O)R<sup>12</sup>, or -C(=S)R<sup>12</sup>;

20       $R^{27}$  is selected from hydrogen,  $C_{1-18}$  alkyl,  $C_{3-10}$  cycloalkyl, (C<sub>3-10</sub> cycloalkyl)-C<sub>1-6</sub> alkyl, aryl, and aryl C<sub>1-18</sub> alkyl, and salts, tautomers, isomers and solvates thereof.

25      57.     The compound of claim 56 wherein Y is a single bond, and  $R^1$  is aryl.

58.     The compound of claim 56 wherein X is C<sub>1</sub>-C<sub>10</sub> alkylene, C<sub>2-10</sub> alkenylene or C<sub>2-10</sub> alkynylene.

30      59.     The compound of claim 56 wherein  $R^3$  is heterocyclic.

60.     The compound of claim 56 wherein  $R^3$  is heterocyclic substituted with  $R^{17}$  where Q is a bond and M is aryl.

35      61.     The compound of claim 56 wherein Y is a single bond, and  $R^1$  is phenyl.

5 62. The compound of claim 56 wherein R<sup>3</sup> is isoxazole substituted with R<sup>17</sup> where  
Q is a bond and M is aryl.

10 63. The compound of claim 56 wherein R<sup>3</sup> is isoxazole substituted with R<sup>17</sup> where  
Q is a bond and M is phenyl.

15 64. A method comprising administering to a subject in need of treatment or  
prophylaxis of a viral infection an antivirally effective amount of a compound of  
claims 1, 2, 3, 48 or 56.

20 65. The method of claim 64, wherein the viral infection is an infection of a  
hepatitis-C virus.

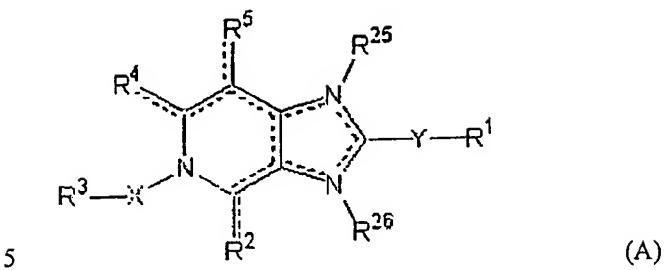
66. The method of claim 65 further comprising administering at least one  
additional antiviral therapy to the subject.

25 67. The method of claim 66 wherein the additional therapy is selected from the  
group consisting of an interferon alpha and ribavirin.

68. A method of screening antiviral compounds which comprises providing a  
25 compound of claims 1, 2, 3, 48 or 56 and determining the anti-viral activity of said  
compound.

69. The method of claim 68 wherein said anti-viral activity is determined by the  
activity of said compound against one or more viruses belonging to the family of the  
30 Flaviviridae and/or of the Picornaviridae.

70. A method for assaying the structure-activity of analogues of formula (A)  
compounds



wherein the substituents are defined in WO 2004/005286, comprising

(c) preparing a compound of formula (A) in which at least one substituent is not disclosed by WO 2004/005286; and

10 (d) determining the anti-HCV activity of the compound of step (a).

71. The method of claim 70 wherein the substituent is located at R<sup>3</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>26</sup> and/or R<sup>5</sup>.

15